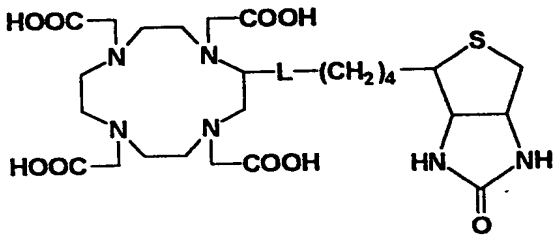
WHAT IS CLAIMED IS:

1. A method of increasing active agent localization at a target cell site of a mammalian recipient, which method comprises:

administering to the recipient a first conjugate comprising a targeting moiety and streptavidin;

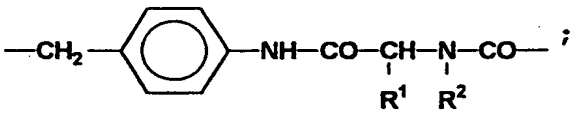
allowing an amount of time to pass that is sufficient for localization of the first conjugate to the target site;

subsequently administering to the recipient a second conjugate comprising an active agent and biotin, wherein the second conjugate localizes to target site-localized first conjugate, and wherein the second conjugate comprises a biotin-DOTA compound of the following formula:



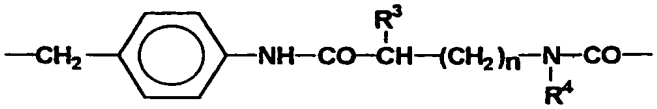
and further wherein a linker L is selected from the group comprising:

1) a D-amino acid-containing linker of the formula



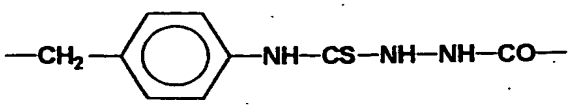
;

2) a linker of the formula



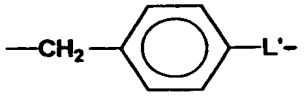
;

3) a linker of the formula



; and

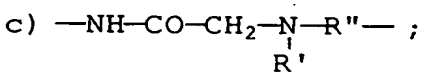
4) a linker of the formula



, wherein L' is selected from the group comprising:

a) $-NH-CO-(CH_2)_n-O-$;

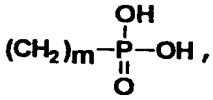
b) $-NH-$;

c)  ;

d) $-NH-CS-NH-$; and

e) $-NH-CO-(CH_2)_n-NH-$,

wherein R^1 is hydrogen, lower alkyl; lower alkyl substituted with one or more hydrophilic groups including $(CH_2)_m-OH$, $(CH_2)_m-OSO_3$, $(CH_2)_m-SO_3$, and

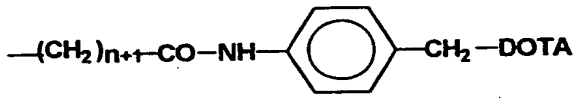
 where m is 1 or 2;

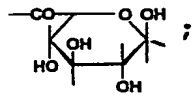
glucuronide-substituted amino acids; or other glucuronide derivatives;

R^2 is hydrogen; lower alkyl; substituted lower alkyl having one or more substituents selected from the group comprising hydroxy, sulfate, and phosphonate; or a hydrophilic moiety;

R^3 is hydrogen; an amine; a lower alkyl; a hydroxy-, sulfate- or phosphonate-substituted lower alkyl; a glucuronide; or a glucuronide-derivatized amino acid;

R^4 is hydrogen, lower alkyl or

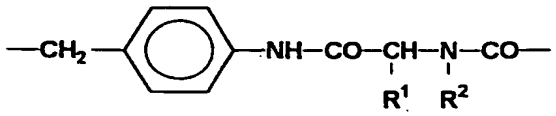
 ;

R' is hydrogen; $-(CH_2)_2-OH$ or a sulfate or phosphonate derivative thereof; or  ;

R'' is a bond or $-(CH_2)_n-CO-NH-$; and

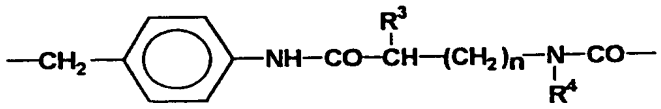
n ranges from 0-5.

2. A method of claim 1 wherein L is a D-amino acid-incorporating linker of the formula



3. A method of claim 2 wherein R^1 is CH_3 and R^2 is H.

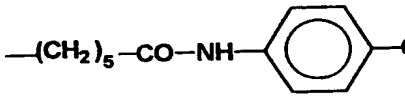
4. A method of claim 1 wherein L is a linker of the formula



5. A method of claim 4 wherein R^3 is hydrogen; R^4 is CH_3; and n is 4.

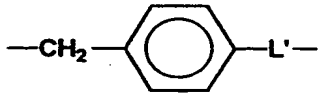
6. A method of claim 4 wherein R^3 is hydrogen; R^4 is CH_3; and n is 0.

7. A method of claim 4 wherein R^3 is hydrogen; R^4 is



; and n is 4.

8. A method of claim 1 wherein L is a linker of the formula



, wherein L' is selected from the group comprising:

a) $-NH-CO-(CH_2)_n-O-$;

b) $-NH-$;

c) $-NH-CO-CH_2-\underset{\underset{R'}{|}}{N}-R''-$;

d) $-NH-CS-NH-$; and

e) $-NH-CO-(CH_2)_n-NH-$ or a bis-DOTA derivative thereof.

9. A method of claim 1 wherein the first conjugate is administered at a substantially tumor saturating dose.

10. A method of claim 1 wherein the second conjugate is administered intraarterially or intralesionally.

11. A method of claim 10 wherein the second conjugate is administered via an artery supplying target tissue.

12. A method of claim 10 wherein the second conjugate is administered via an artery selected from the group consisting of hepatic artery, carotid artery, bronchial artery and renal artery.

13. A method of claim 1 wherein the second conjugate is administered intravenously.

14. A method of claim 1 wherein the targeting moiety is an oligonucleotide, a peptide, a polypeptide, a monoclonal antibody, a monovalent fragment thereof.

15. A method of claim 14 wherein the monoclonal antibody is a human, a humanized or a chimeric monoclonal antibody.